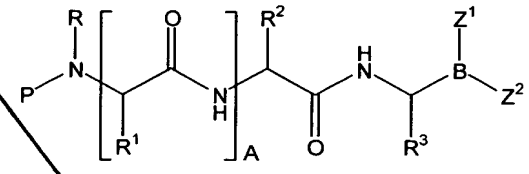
WHAT IS CLAIMED IS:

1. A compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkyaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

Z^1 and Z^2 together form a moiety derived from sugar, wherein the atom attached to boron in each case in an oxygen atom.

2. The compound of claim 1, wherein the sugar is a monosaccharide or disaccharide.

3. The compound of claim 1, wherein the sugar is a reduced sugar.

4. The compound of claim 3, wherein the reduced sugar is mannitol or sorbitol.

5. The compound of claim 1, wherein A is 0.

6. The compound of claim 1, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

7. The compound of claim 5, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

8. The compound of claim 1, wherein P is R^7-C(O)-, R^7-S(O)$_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-S(O)$_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

9. The compound of claim 8, wherein P is R^7-C(O)- or R^7-S(O)$_2$-, and R^7 is an aromatic heterocycle.

10. The compound of claim 9, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

11. The compound of claim 8, wherein

A is zero;

R is hydrogen or C_1-C_8 alkyl; and

R^3 is C_1-C_6 alkyl.

12. The compound of claim 11, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

13. The compound of claim 12, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

14. The compound of claim 1, wherein

R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2$-R^5;

R^5 in each instance is C_6-C_{10} aryl, $(C_6$-$C_{10})$ar$(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alk$(C_6$-$C_{10})$aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

15. The compound of claim 1, wherein said compound is:

D-Mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;

D-Mannitol *N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

D-Mannitol *N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

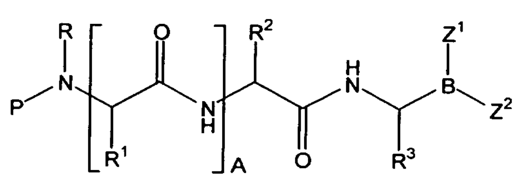
D-Mannitol *N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or

D-Mannitol *N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate.

16. The compound D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

17. A lyophilized compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;
wherein the ring portion of any said aryl, aralkyl, alkyaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

Z^1 and Z^2 together form a moiety derived from sugar, wherein the atom attached to boron in each case in an oxygen atom.

18. The compound of claim 17, wherein the sugar is a monosaccharide or disaccharide.

19. The compound of claim 17, wherein the sugar is a reduced sugar.

20. The compound of claim 17, wherein A is 0.

21. The compound of claim 19, wherein the reduced sugar is mannitol or sorbitol.

22. The compound of claim 17, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

23. The compound of claim 20, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

24. The compound of claim 17, wherein P is R^7-C(O)-, R^7-S(O)$_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-$S(O)_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

25. The compound of claim 24, wherein P is R^7-C(O)- or R^7-$S(O)_2$-, and R^7 is an aromatic heterocycle.

26. The compound of claim 25, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

27. The compound of claim 24, wherein

A is zero;

R is hydrogen or C_1-C_8 alkyl; and

R^3 is C_1-C_6 alkyl.

28. The compound of claim 27, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

29. The compound of claim 28, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

30. The compound of claim 17, wherein

R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2$-R^5;

R^5 in each instance is C_6-C_{10} aryl, (C_6-C_{10})ar(C_1-C_6)alkyl, (C_1-C_6)alk(C_6-C_{10})aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

31. The compound of claim 25, wherein said compound is:

D-Mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;

D-Mannitol *N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

D-Mannitol *N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronate;

D-Mannitol *N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or

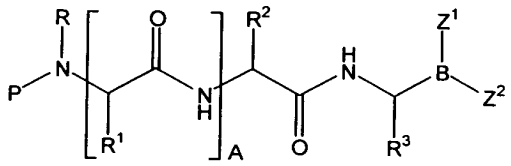
D-Mannitol *N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate.

32. The lyophilized compound D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

33. The compound of claim 17, wherein the compound is stable at 0 °C for at least one month.

34. The compound of claim 17, wherein the compound is stable at 40 °C for at least one month.

35. A method of preparing a lyophilized compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5 in each instance is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkyaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

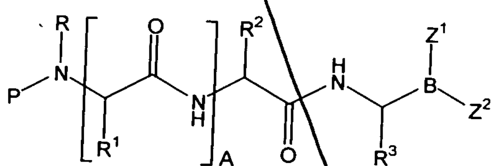
Z^1 and Z^2 are derived from a sugar moiety;

the method comprising:

(a) preparing a mixture comprising

(i) water,

(ii) a compound of formula (3)



(3)

wherein P, R, A, R^1, R^2, and $R^3$are as described above; and

Z^1 and Z^2 are OH; and

(iii) a moiety derived from sugar; and

(b) lyophilizing the mixture.

36. The method of claim 35, wherein the sugar is a monosaccharide or disaccharide.

37. The method of claim 35, wherein the sugar is a reduced sugar.

38. The method of claim 37, wherein the reduced sugar is mannitol or sorbitol.

39. The method of claim 38, wherein the reduced sugar is mannitol.

40. The method of claim 35, wherein Z^1 and Z^2 of formula (1) together form a moiety derived from mannitol.

41. The method of claim 35, wherein P is R^7-C(O)-, R^7-$S(O)_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-$S(O)_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

42. The method of claim 41, wherein P is R^7-C(O)- or R^7-$S(O)_2$-, and R^7 is an aromatic heterocycle.

43. The method of claim 42, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

44. The method of claim 35, wherein

A is zero;

R is hydrogen or C_1-C_6 alkyl; and

R^3 is C_1-C_6 alkyl.

45. The method of claim 44, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

46. The method of claim 35, wherein

R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2$-R^5;

R^5 in each instance is C_6-C_{10} aryl, (C_6-C_{10})ar(C_1-C_6)alkyl, (C_1-C_6)alk(C_6-C_{10})aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

47. The method of claim 35, wherein the compound of formula (3) is:
N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;
N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or
N-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

48. The method of claim 35, wherein the compound of formula (1) is D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

49. The method of claim 47, wherein the compound of formula (3) is *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

50. The method of claim 35, wherein the mixture further comprises a water-miscible solvent.

51. The method of claim 50, wherein the water-miscible solvent is an alcohol.

52. The method of claim 51, wherein the alcohol is *tert*-butanol.

53. The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 1:1 ratio.

54. The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 5:1 ratio.

55. A lyophilized cake comprising the compound of claim 17.

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